```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssspta1623kxg

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09  CA/CAplus records now contain indexing from 1907 to the
                  present
 NEWS  4  AUG 05  New pricing for EUROPATFULL and PCTFULL effective
                  August 1, 2003
 NEWS  5  AUG 13  Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS  6  AUG 18  Data available for download as a PDF in RDISCLOSURE
 NEWS  7  AUG 18  Simultaneous left and right truncation added to PASCAL
 NEWS  8  AUG 18  FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                  Truncation
 NEWS  9  AUG 18  Simultaneous left and right truncation added to ANABSTR
 NEWS 10  SEP 22  DIPPR file reloaded
 NEWS 11  SEP 25  INPADOC: Legal Status data to be reloaded
 NEWS 12  SEP 29  DISSABS now available on STN
 NEWS 13  OCT 10  PCTFULL: Two new display fields added
 NEWS 14  OCT 21  BIOSIS file reloaded and enhanced
 NEWS 15  OCT 28  BIOSIS file segment of TOXCENTER reloaded and enhanced

 NEWS EXPRESS  OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:37:37 ON 12 NOV 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.21       0.21

FILE 'REGISTRY' ENTERED AT 17:37:48 ON 12 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
```

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8
DICTIONARY FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

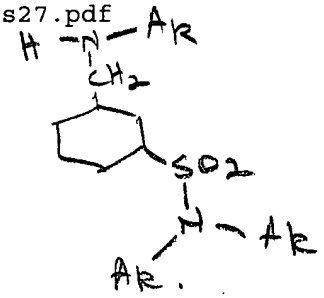
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10031122-1.str

L1 STRUCTURE UPLOADED



=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:38:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 990 TO ITERATE

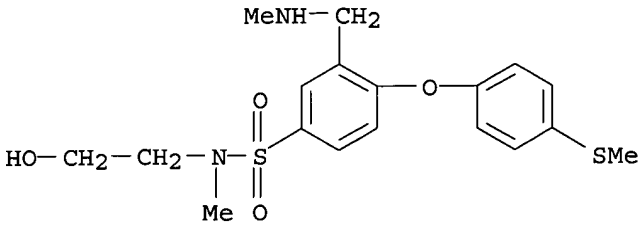
100.0% PROCESSED 990 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 17913 TO 21687
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI)
MF C18 H24 N2 O4 S2 . Cl H

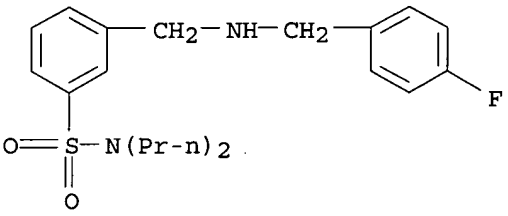


● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

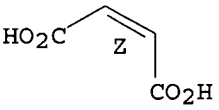
L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, 3-[[[(4-fluorophenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI)
MF C20 H27 F N2 O2 S . x C4 H4 O4

CM 1



CM 2

Double bond geometry as shown.



ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 17:38:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19556 TO ITERATE

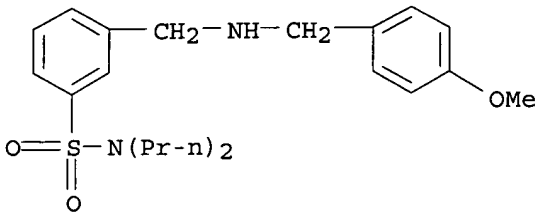
100.0% PROCESSED 19556 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> d scan

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, 3-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl- (9CI)

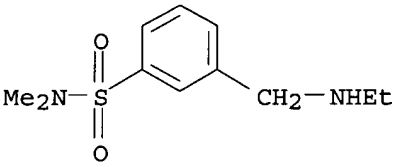
MF C21 H30 N2 O3 S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, 3-[(ethylamino)methyl]-N,N-dimethyl- (9CI)
MF C11 H18 N2 O2 S

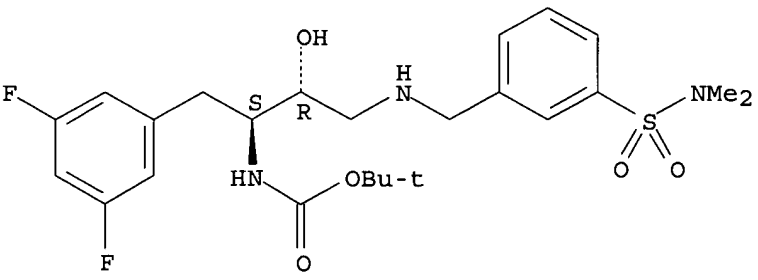


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI)
MF C24 H33 F2 N3 O5 S

Absolute stereochemistry.

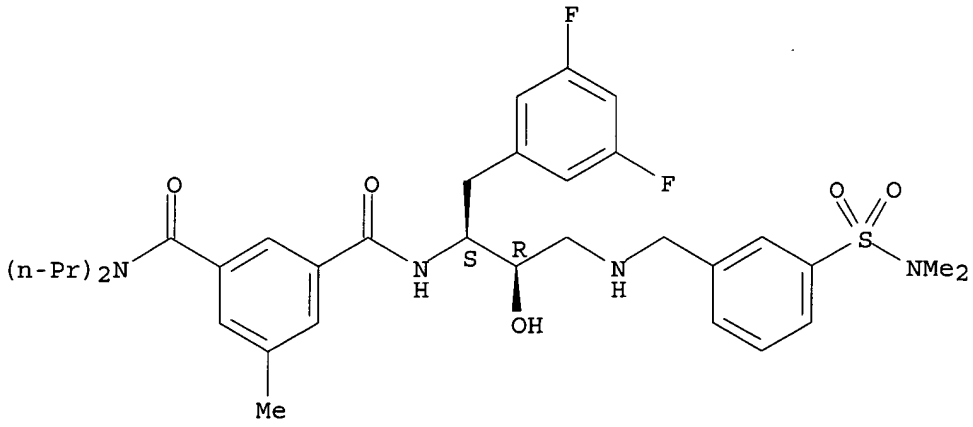


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI)
MF C34 H44 F2 N4 O5 S

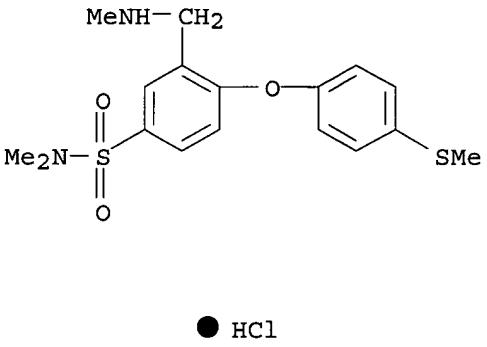
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

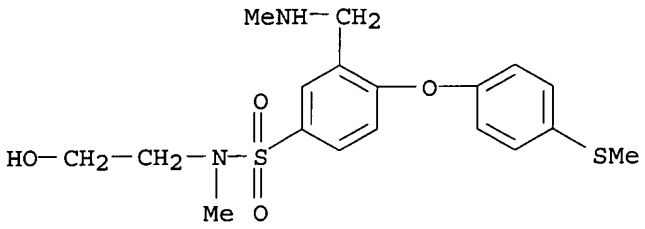
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI)
MF C17 H22 N2 O3 S2 . Cl H



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

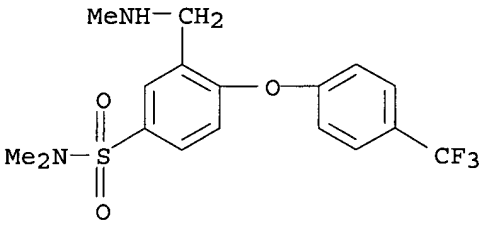
L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI)
MF C18 H24 N2 O4 S2 . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]- (9CI)
MF C17 H19 F3 N2 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l3/PREP
'PREP' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	149.35	149.56

FILE 'CAPLUS' ENTERED AT 17:40:01 ON 12 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> s l3/PREP and l3/THU
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      3074300 PREP/RL
            5 L3/PREP
                (L3 (L) PREP/RL)
            6 L3
       549364 THU/RL
            5 L3/THU
                (L3 (L) THU/RL)
L4          5 L3/PREP AND L3/THU

=> dis l4 1-5 bib abs hitstr
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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:412801 CAPLUS
DN 139:245782
TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	WO 2003040096	A2	20030515	WO 2002-US36072	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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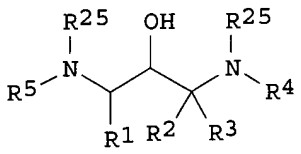
US 2001-344086P P 20011228
US 2002-345635P P 20020103
WO 2002-US36072 A 20021108

GI



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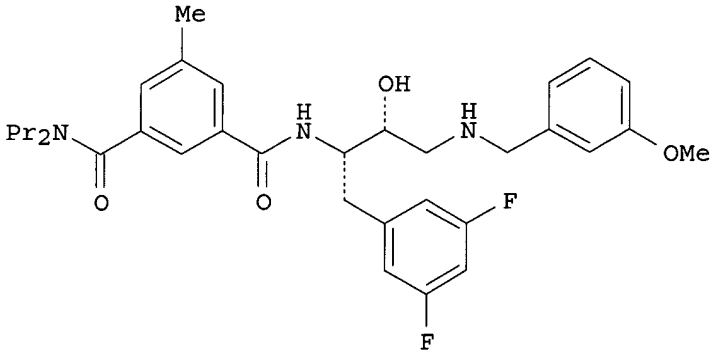


II

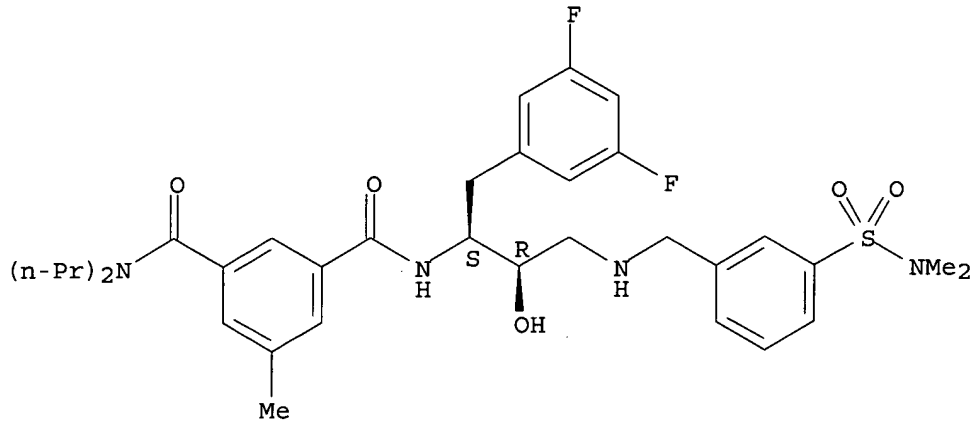
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of .beta.-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepd. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 .mu.M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

IT **388068-62-0P 527734-20-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 388068-62-0 CAPLUS

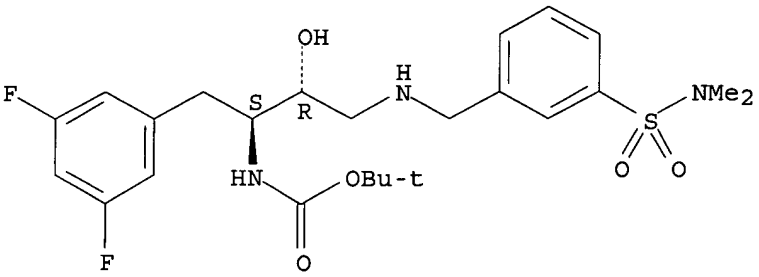
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527734-20-9 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:376819 CAPLUS
DN 138:385173
TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-US36072	20021108

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WO 2003040096 A2 20030515 WO 2002-XA36072 20021108
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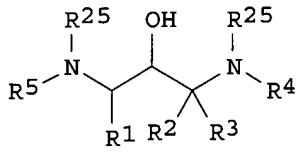
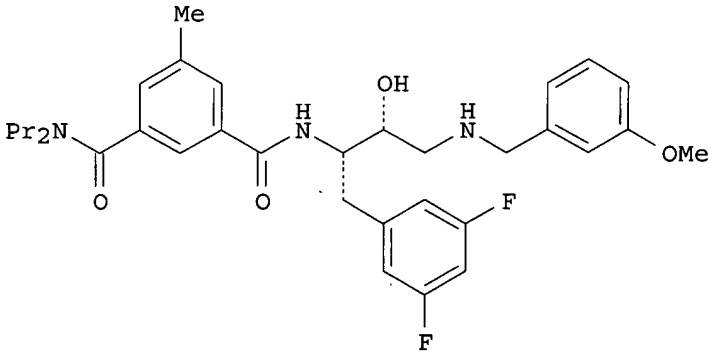
US 2001-344086P P 20011228
US 2002-345635P P 20020103
WO 2002-US36072 A 20021108
OS MARPAT 138:385173
GI



I



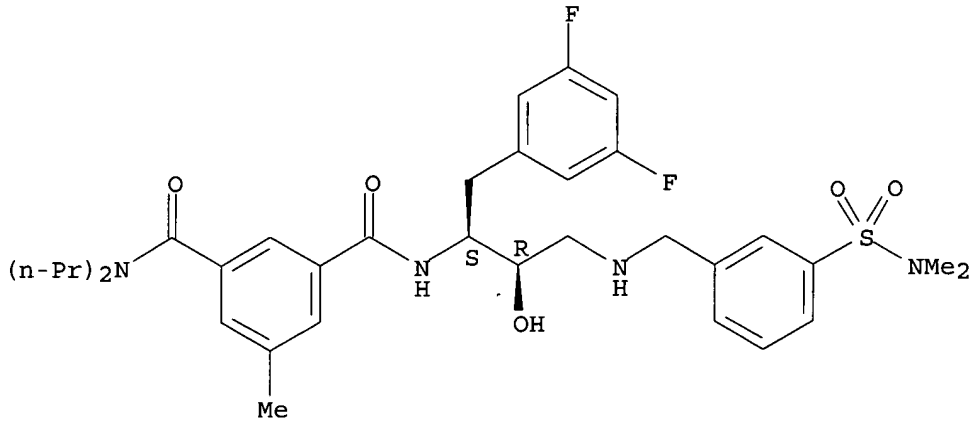
II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of .beta.-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepd. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 .mu.M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **388068-62-0P 527734-20-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating

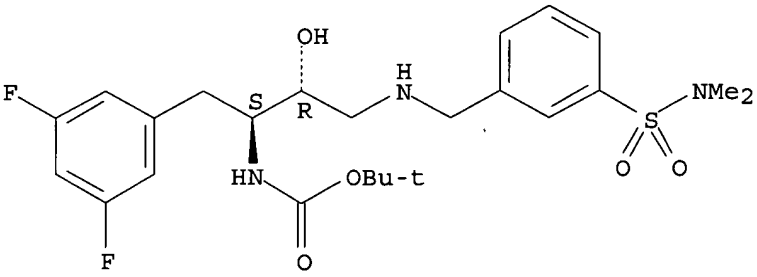
Alzheimer's disease)
RN 388068-62-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527734-20-9 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:31402 CAPLUS
DN 136:102190
TI Preparation of substituted amines to treat Alzheimer's disease
IN Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002512	A2	20020110	WO 2001-US21012	20010629
	WO 2002002512	A3	20030821		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,

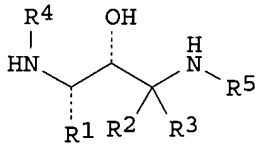
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	US 2002128255	A1	20020912	US 2001-896139	20010629
	BR 2001012000	A	20030603	BR 2001-12000	20010629
	EP 1353898	A2	20031022	EP 2001-952378	20010629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2002006199	A	20030221	NO 2002-6199	20021223
PRAI	US 2000-215323P	P	20000630		
	US 2000-252736P	P	20001122		
	US 2000-255956P	P	20001215		
	US 2001-268497P	P	20010213		
	US 2001-279779P	P	20010329		
	US 2001-295589P	P	20010604		
	WO 2001-US21012	W	20010629		

OS MARPAT 136:102190

GI



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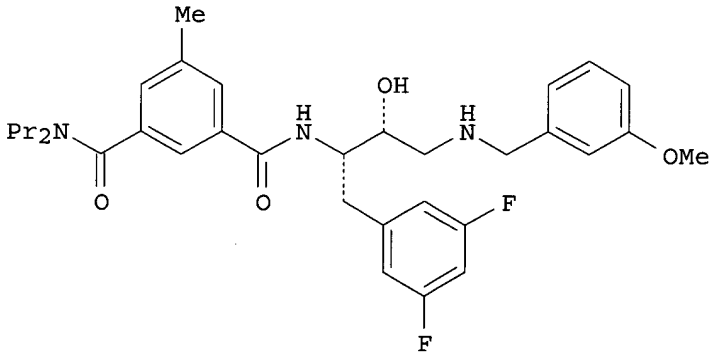


II

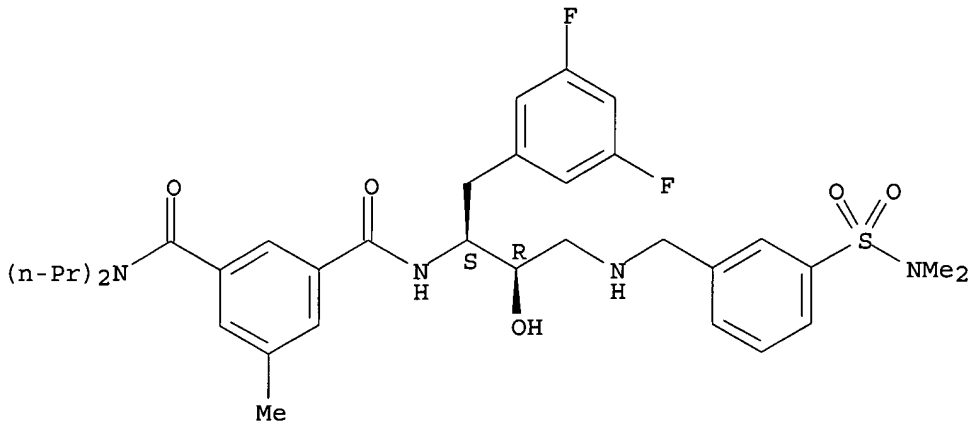
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepd. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 .mu.M against beta-secretase.

IT **388068-62-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of substituted amines for treating Alzheimer's disease)
RN 388068-62-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

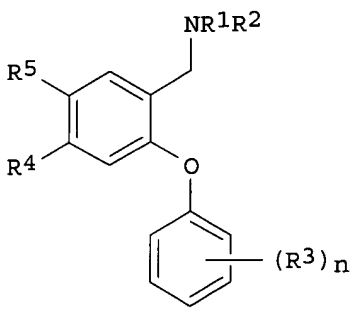
Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:730683 CAPLUS
DN 135:288572
TI Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors
IN Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie, Alan
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072687	A1	20011004	WO 2001-IB428	20010319
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002052395	A1	20020502	US 2001-810378	20010316
	US 6448293	B2	20020910		
	EP 1268396	A1	20030102	EP 2001-917347	20010319
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009547	A	20030610	BR 2001-9547	20010319
	NZ 519972	A	20030725	NZ 2001-519972	20010319
	JP 2003528845	T2	20030930	JP 2001-570602	20010319
	BG 106912	A	20030131	BG 2002-106912	20020709
	NO 2002004663	A	20020927	NO 2002-4663	20020927
PRAI	GB 2000-7884	A	20000331		
	US 2000-197127P	P	20000414		
	WO 2001-IB428	W	20010319		

OS MARPAT 135:288572
GI



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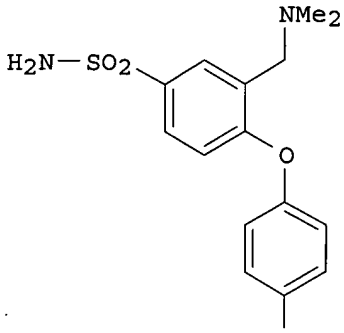
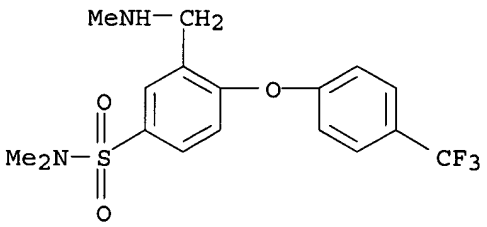


II

AB Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl; or R1 and R2 together with the N to which they are attached form an azetidine ring; R3 = independently CF3, OCF3, alkylthio, or alkoxy; n = 1-3; R4 and R5 = independently AX; A = CH:CH or (CH2)p; p = 0-2; X = H, halo, OH, alkoxy, NO2, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl, or (un)substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or pharmaceutically acceptable salts, solvates, or polymorphs thereof] were prepd. as monoamine re-uptake inhibitors, particularly as selective serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was coupled with 2-fluorobenzaldehyde using K2CO3 in DMF to give 2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was dissolved in THF, DCM, Me2NH.bul.HCl, and TEA, treated with NaBH(OAc)3, and converted to the salt with 1M HCl in Et2O to afford N,N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine.bul.HCl (84%). Coupling the salt with ClSO3H in CH2Cl2 at 0.degree. to 5.degree.C, followed by stepwise addn. of MeCN with POCl3 and ammonia, produced the desired sulfonamide (II) in 61% yield. The latter showed serotonin re-uptake inhibition (SRI) activity with IC50 .ltoreq. 50 nM and was > 100-fold as potent in the inhibition of serotonin re-uptake than in the the inhibition of dopamine and noradrenaline re-uptake. I are useful in the treatment of disorders such as depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder, substance abuse disorders, and sexual dysfunction, including premature ejaculation (no data).

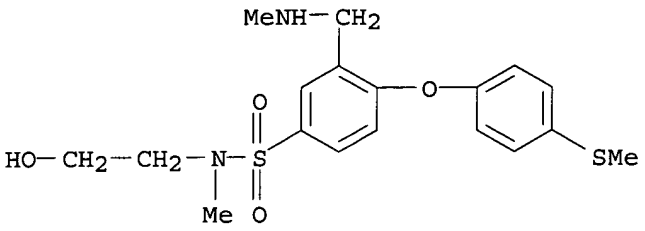
IT **364321-72-2P 364321-89-1P 364321-94-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

RN 364321-72-2 CAPLUS
CN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



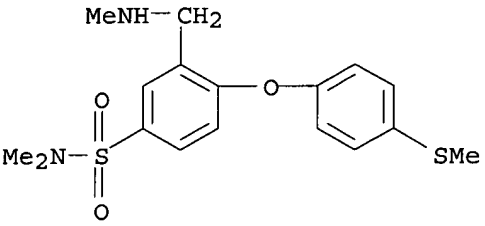
RN 364321-89-1 CAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-

[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 364321-94-8 CAPLUS
CN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:50617 CAPLUS
DN 134:86033
TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators
IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward
PA Eli Lilly and Company Limited, UK
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

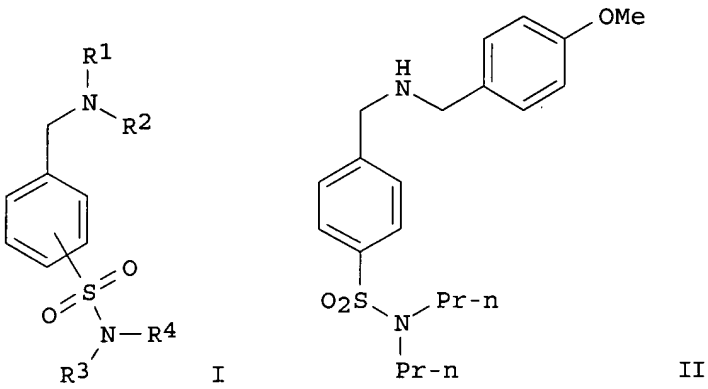
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	GB 2352240	A1	20010124	GB 1999-16434	19990713
	EP 1200397	A1	20020502	EP 2000-938940	20000615

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRAI GB 1999-16434 A 19990713
WO 2000-GB2361 W 20000615

OS MARPAT 134:86033

GI



I II

AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

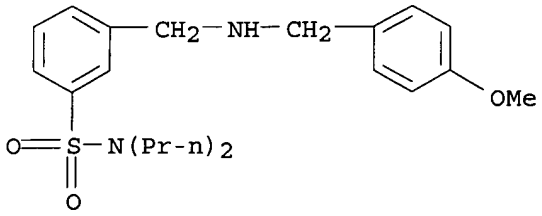
IT **317813-45-9P 317813-53-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

RN 317813-45-9 CAPLUS

CN Benzenesulfonamide, 3-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

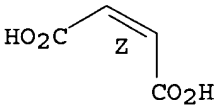
CRN 317813-44-8
CMF C21 H30 N2 O3 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 317813-53-9 CAPLUS
CN Benzenesulfonamide, 3-[[[(4-fluorophenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

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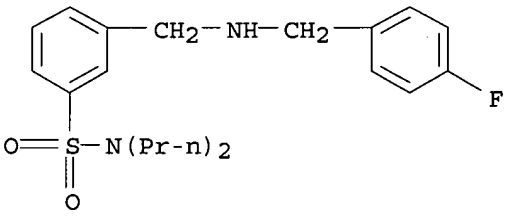
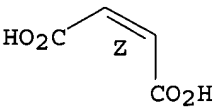
CRN 317813-52-8
CMF C20 H27 F N2 O2 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis hist

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     (FILE 'HOME' ENTERED AT 17:37:37 ON 12 NOV 2003)

     FILE 'REGISTRY' ENTERED AT 17:37:48 ON 12 NOV 2003
L1              STRUCTURE UPLOADED
L2            2 S L1 SSS SAM
L3           10 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:40:01 ON 12 NOV 2003
L4            5 S L3/PREP AND L3/THU

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    26.96     176.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -3.26      -3.26

STN INTERNATIONAL LOGOFF AT 17:41:30 ON 12 NOV 2003


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LOGINID:ssspta1623kxg

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09  CA/CAplus records now contain indexing from 1907 to the
                  present
 NEWS  4  AUG 05  New pricing for EUROPATFULL and PCTFULL effective
                  August 1, 2003
 NEWS  5  AUG 13  Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS  6  AUG 18  Data available for download as a PDF in RDISCLOSURE
 NEWS  7  AUG 18  Simultaneous left and right truncation added to PASCAL
 NEWS  8  AUG 18  FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                  Truncation
 NEWS  9  AUG 18  Simultaneous left and right truncation added to ANABSTR
 NEWS 10  SEP 22  DIPPR file reloaded
 NEWS 11  SEP 25  INPADOC: Legal Status data to be reloaded
 NEWS 12  SEP 29  DISSABS now available on STN
 NEWS 13  OCT 10  PCTFULL: Two new display fields added
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 NEWS 14  OCT 21  BIOSIS file reloaded and enhanced
 NEWS 15  OCT 28  BIOSIS file segment of TOXCENTER reloaded and enhanced

 NEWS EXPRESS  OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003
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STRUCTURE FILE UPDATES:   11 NOV 2003  HIGHEST RN 615535-77-8
DICTIONARY FILE UPDATES:  11 NOV 2003  HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10031122-2.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
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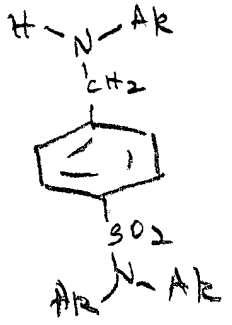

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SAMPLE SCREEN SEARCH COMPLETED -  11187 TO ITERATE

  8.9% PROCESSED    1000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       217406 TO   230074
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:47:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 223890 TO ITERATE

100.0% PROCESSED  223890 ITERATIONS                               50 ANSWERS
SEARCH TIME: 00.00.04

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                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.55     148.76

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FILE COVERS 1907 - 12 Nov 2003  VOL 139 ISS 20
FILE LAST UPDATED: 11 Nov 2003  (20031111/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3/PREP and l3/THU
            27 L3
       3074300 PREP/RL
            14 L3/PREP
                 (L3 (L) PREP/RL)
            27 L3
        549364 THU/RL
             7 L3/THU
                 (L3 (L) THU/RL)
L4           6 L3/PREP AND L3/THU
```

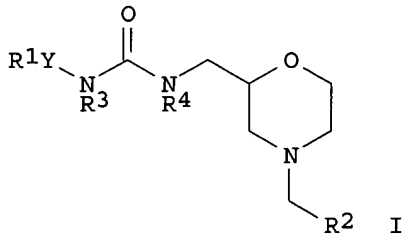
=> dis l4 1-6 bib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:796494 CAPLUS
DN 139:307770
TI Preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082292	A1	20031009	WO 2003-EP3340	20030327

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-7436 A 20020328
GI



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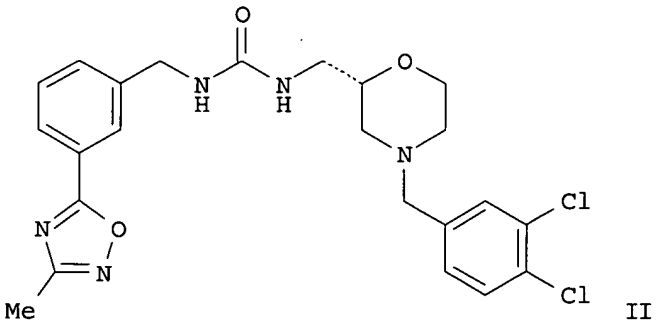


II

AB Title compds. [I; R1 = (substituted) aryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepd. Thus, 4-nitrophenyl [(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylcarbamate, N-hydroxyethanimidamide, NaOEt, and 4.ANG. powd. mol. sieves were refluxed together in EtOH for 5 h to give title compd. (II).

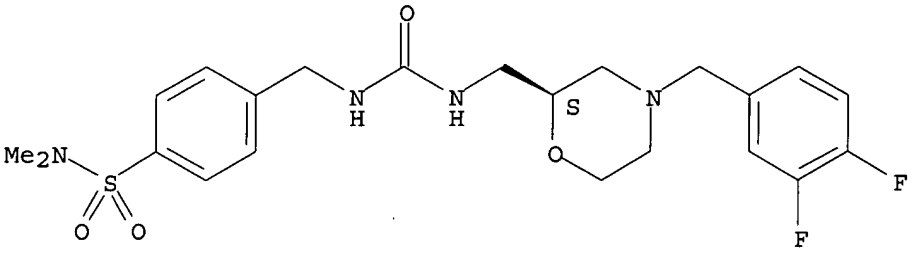
I showed pIC50 = 6.6-9.1 in a CCR3 binding assay.

IT **610799-31-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 610799-31-0 CAPLUS

CN Benzenesulfonamide, 4-[[[[[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]amino]carbonyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:757713 CAPLUS
DN 139:276880
TI Preparation of carbamates as HIV protease inhibitors
IN Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy
PA The Board of Trustees of the University of Illinois, USA
SO PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

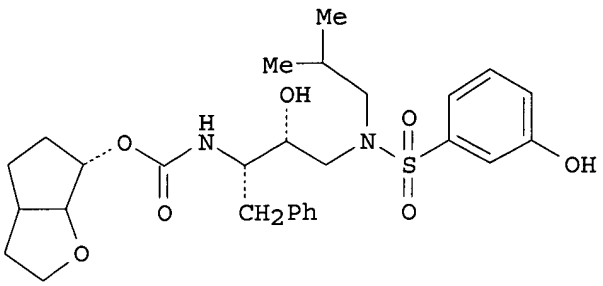
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078438	A1	20030925	WO 2003-US7032	20030307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-363628P P 20020312
US 2002-433627P P 20021213

OS MARPAT 139:276880

GI



I

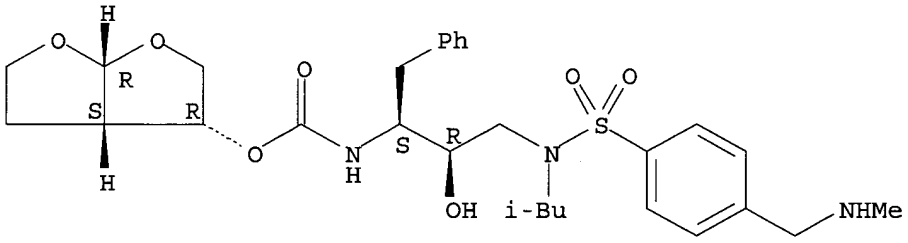
AB R1O2CNHCH(CH2Ph)CH(OH)CHR4NR2R3 [R1 = alkyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, NH2, heterocyclic, cycloalkyl; R3 = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR2R3 = heterocyclic; R4 = H, (un)substituted heterocyclylalkyl] were prepd. for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepd. in a multi-step synthesis and has Ki 2.1 nM for inhibition of HIV protease.

IT **605653-37-0P 605653-43-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of carbamates as HIV protease inhibitors)

RN 605653-37-0 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

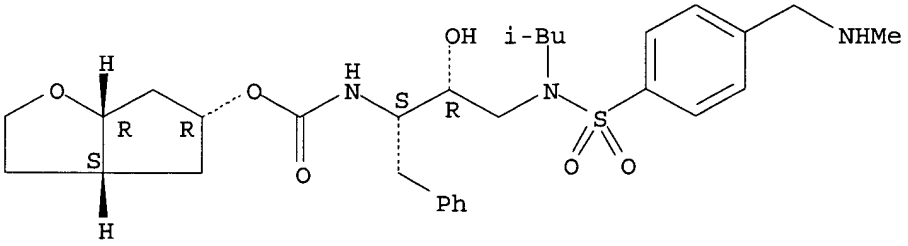
Absolute stereochemistry.



RN 605653-43-8 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3aS,5R,6aR)-hexahydro-2H-cyclopenta[b]furan-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:282325 CAPLUS
DN 138:321285
TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2001-326463P	P	20011001
	US 2001-326758P	P	20011002

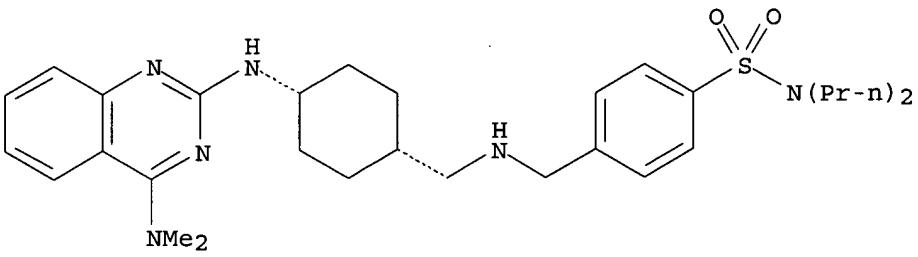
OS MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT **510746-98-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)
RN 510746-98-2 CAPLUS
CN Benzenesulfonamide, 4-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

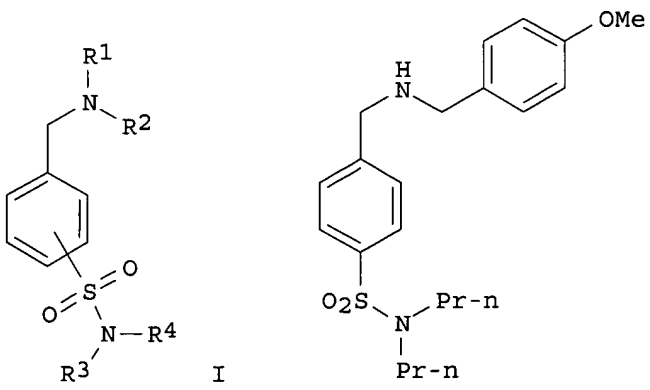
Relative stereochemistry.



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:50617 CAPLUS
DN 134:86033
TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators
IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward
PA Eli Lilly and Company Limited, UK
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	GB 2352240	A1	20010124	GB 1999-16434	19990713
	EP 1200397	A1	20020502	EP 2000-938940	20000615
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI	GB 1999-16434	A	19990713		
	WO 2000-GB2361	W	20000615		

OS MARPAT 134:86033
GI



I II

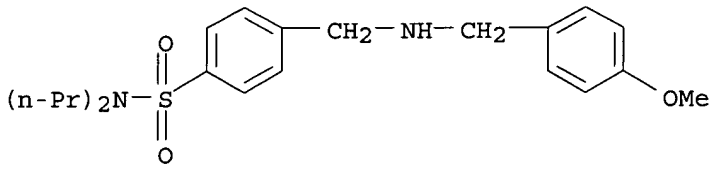
AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

IT **317813-43-7P 317813-47-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

RN 317813-43-7 CAPLUS
CN Benzenesulfonamide, 4-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

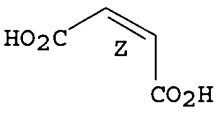
CRN 317813-42-6
CMF C21 H30 N2 O3 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

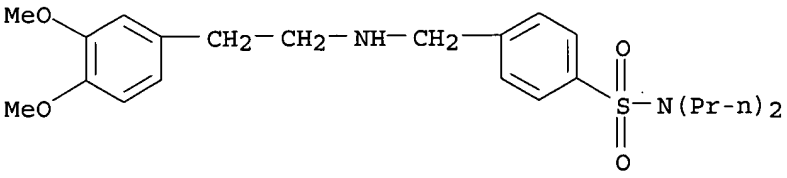
Double bond geometry as shown.



RN 317813-47-1 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

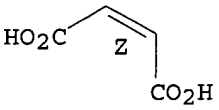
CRN 317813-46-0
CMF C23 H34 N2 O4 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

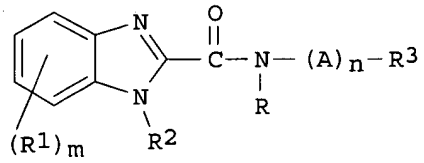


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:430666 CAPLUS
DN 129:144858
TI cGMP phosphodiesterase inhibitors containing benzimidazole derivatives
IN Nishi, Takao; Sato, Seiji; Kinohara, Yoshito; Eitani, Takeshi; Yukawa, Hirotaka; Koga, Nobuyuki
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 92 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10182459	A2	19980707	JP 1996-347124	19961226
PRAI JP 1996-347124		19961226		

OS MARPAT 129:144858
GI



I

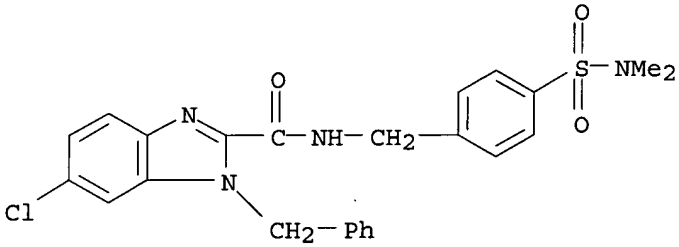
AB The inhibitors, useful for treatment of atherosclerotic diseases such as cardiac infarction, cerebral infarction, etc., and restenosis after PTCA, vascular stenting, and atherectomy, contain benzimidazole derivs. I [R = H, lower alkyl; R1 = H, lower alkoxy, halo, carbamoyl; m = 1, 2; R2 = phenyl-lower alkyl in which Ph group may be substituted with cyano, lower alkoxy; thienyl-lower alkyl, benzofuryl-lower alkyl in which benzofuran ring may be substituted with lower alkyl; lower alkenyl, lower alkoxy-lower alkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl; A = lower alkylene, OB (B = lower alkylene); n = 0, 1; R3 = Ph which may have 1-3 substituents] or their salts. IC50 of I (R1 = H, R2 = CH2Ph, A = CH2,

m = n = 1, R3 = C6H4OMe-3) against cGMP phosphodiesterase was 0.06 .mu.M. Inhibitory action of I against FBS-stimulated growth of rat aortic smooth muscle cell line A10 was also shown. Pharmaceutical prepns. contg. I were also given.

IT **210919-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of benzimidazole derivs. as cGMP phosphodiesterase inhibitors for treatment of atherosclerotic diseases)

RN 210919-49-6 CAPLUS

CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:303430 CAPLUS

DN 126:277394

TI Preparation of acridone compounds as drugs

IN Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Takashima, Yoshihiro; Moriya, Katsuhiro; Sakuma, Yoshinori; Yamada, Koji; Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto; Katayama, Koichi; et al.

PA Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

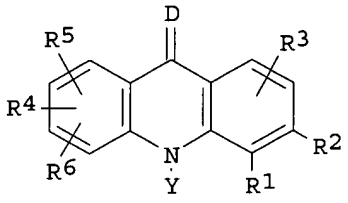
LA Japanese

FAN.CNT 1

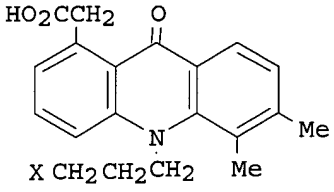
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9712872	A1	19970410	WO 1996-JP2880	19961003
	W: AU, CA, CN, HU, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2232990	AA	19970410	CA 1995-2232990	19951002
	JP 09249650	A2	19970922	JP 1996-261669	19961002
	CA 2233643	AA	19970410	CA 1996-2233643	19961003
	AU 9671453	A1	19970428	AU 1996-71453	19961003
	EP 857721	A1	19980812	EP 1996-932811	19961003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1995-257944		19951004		
	JP 1995-301570		19951120		
	JP 1995-317867		19951206		
	JP 1995-317868		19951206		
	JP 1996-1339		19960109		
	JP 1996-1340		19960109		
	WO 1996-JP2880		19961003		

OS MARPAT 126:277394

GI



I



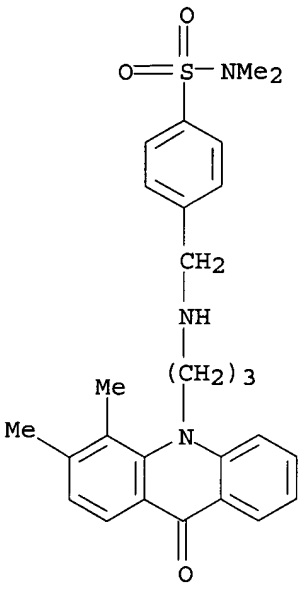
II

AB The title compds. [I; R1-R6 = H, OH, halo, lower alkyl or alkoxy, cycloalkyl, etc.; Y = (CH2)p(B)m(CH2)nZ; m = 0-1; p, n = 0-6; B = lower alkylene, optionally substituted arylene, etc.; Z = cyano, optionally protected carboxy, acyl, NR7R8; R7, R8 = H, lower alkyl or alkoxy, hydroxyalkyl, etc.; D = O, S] and pharmacol. acceptable salts thereof are prepd. I are useful in the prevention and treatment of diseases in which chem. transmitters (histamine, leukotriene, etc.) participate, typified by asthma, allergic rhinitis, atopic dermatitis, urticaria, hay fever, digestive tract allergy, food allergy, etc. Thus, acridone deriv. (II; X = NH2) was refluxed with C6H4CHO in EtOH and then treated with NaBH4 to give the title compd. II (X = C6H4CH2NH), which showed IC50 of 3 .mu.M against serotonin releasing when tested on rat RBL-2H3 cells.

IT **189009-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of acridone compds. as drugs)

RN 189009-07-2 CAPLUS

CN Benzenesulfonamide, 4-[[[3-(3,4-dimethyl-9-oxo-10(9H)-acridinyl)propyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



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       2591172 SYSTEM
                  (SYSTEM OR SYSTEMS)
        227122 DISORDER
        131156 DISORDERS
        324183 DISORDER
                  (DISORDER OR DISORDERS)
         28941 CNS
L5           2 L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=> dis l5 1-2 bib abs hitstr

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:282325  CAPLUS
DN   138:321285
TI   Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
IN   Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh;
     Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA   Taisho Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 1171 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2003028641     A2    20030410       WO 2002-US31059  20020930
     WO 2003028641     A3    20030828
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-326463P P 20011001
US 2001-326758P P 20011002

OS MARPAT 138:321285

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

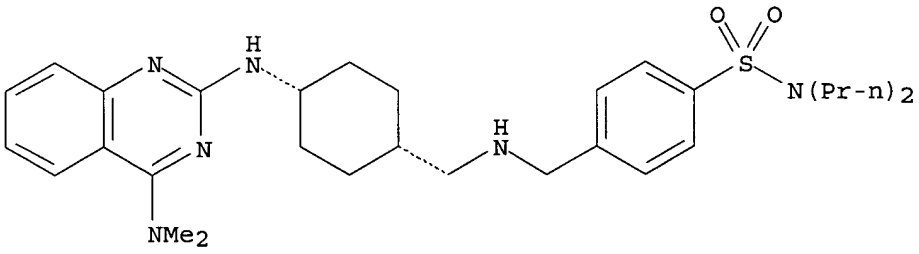
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related **disorders**, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT **510746-98-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510746-98-2 CAPLUS

CN Benzenesulfonamide, 4-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1989:589437 CAPLUS

DN 111:189437

TI A comparison of positive ion and negative ion fast atom bombardment mass spectral data for some sulfonyl hydrazones and derivatives

AU New, A. P.; Haskins, N. J.; Frearson, M. J.

CS SK and F Res. Ltd., Welwyn/Herts, AL6 9AR, UK

SO Biomedical & Environmental Mass Spectrometry (1989), Volume Date 1988, 18(8), 620-3
CODEN: BEMSEN; ISSN: 0887-6134

DT Journal

LA English

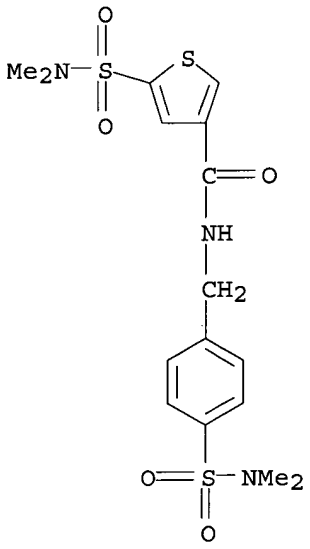
AB A no. of sulfonyl hydrazones and derivs. have been synthesized and tested for biol. activity as pesticides during the crop protection research program at the Hatfield Polytechnic. A comparative ionization study of

some of these compds. using electron impact (EI), fast atom bombardment (FAB) and various chem. ionization methods showed FAB mass spectrometry to be the optimum technique to use in terms of mol. wt. information obtained. FAB mass spectral data were compared in pos. and neg. ion mode using an alternating pos. and neg. ion detection **system**.

IT **123297-65-4**
RL: PRP (Properties)
(mass spectra of, pos. ion and neg. ion fast atom bombardment, comparison of)

RN 123297-65-4 CAPLUS

CN 3-Thiophenecarboxamide, 5-[(dimethylamino)sulfonyl]-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



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=> dis hist

     (FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003)

     FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003
L1             STRUCTURE UPLOADED
L2               0 S L1 SSS SAM
L3              50 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003
L4               6 S L3/PREP AND L3/THU
L5               2 S L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

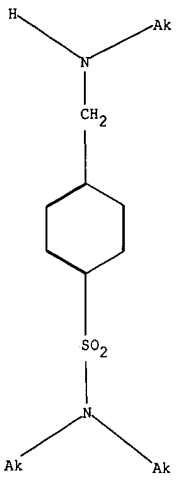
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY    SESSION
```

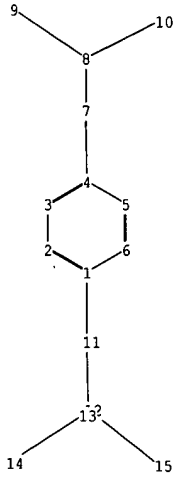
FULL ESTIMATED COST	48.71	197.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.21	-5.21

STN INTERNATIONAL LOGOFF AT 17:50:41 ON 12 NOV 2003

C:\10031122-1.str





chain nodes :
7 8 9 10 11 12 13 14 15
ring nodes :
1 2 3 4 5 6
chain bonds :
1-11 4-7 7-8 8-9 8-10 11-12 12-15 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
8-10 11-12 12-15 13-14
exact bonds :
1-11 4-7 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

```
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LOGINID:ssspta1623kxg

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09   CA/CAplus records now contain indexing from 1907 to the
                   present
 NEWS  4  AUG 05   New pricing for EUROPATFULL and PCTFULL effective
                   August 1, 2003
 NEWS  5  AUG 13   Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS  6  AUG 18   Data available for download as a PDF in RDISCLOSURE
 NEWS  7  AUG 18   Simultaneous left and right truncation added to PASCAL
 NEWS  8  AUG 18   FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                   Truncation
 NEWS  9  AUG 18   Simultaneous left and right truncation added to ANABSTR
 NEWS 10  SEP 22   DIPPR file reloaded
 NEWS 11  SEP 25   INPADOC: Legal Status data to be reloaded
 NEWS 12  SEP 29   DISSABS now available on STN
 NEWS 13  OCT 10   PCTFULL: Two new display fields added
 NEWS 14  OCT 21   BIOSIS file reloaded and enhanced
 NEWS 15  OCT 28   BIOSIS file segment of TOXCENTER reloaded and enhanced

 NEWS EXPRESS  OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003
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```

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provided by InfoChem.

STRUCTURE FILE UPDATES:   11 NOV 2003  HIGHEST RN 615535-77-8
DICTIONARY FILE UPDATES:  11 NOV 2003  HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10031122-2.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 17:47:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  11187 TO ITERATE

  8.9% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       217406 TO   230074
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED - 223890 TO ITERATE

100.0% PROCESSED  223890 ITERATIONS                             50 ANSWERS
SEARCH TIME: 00.00.04

L3           50 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              148.55     148.76
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FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003
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FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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      3074300 PREP/RL
           14 L3/PREP
                (L3 (L) PREP/RL)
           27 L3
       549364 THU/RL
            7 L3/THU
                (L3 (L) THU/RL)
L4          6 L3/PREP AND L3/THU

=> dis l4 1-6 bib abs hitstr

L4   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:796494  CAPLUS
DN   139:307770
TI   Preparation of aralkylureidomorpholines as CCR-3 antagonists for the
     treatment of inflammatory conditions
IN   Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul
     Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon
     Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing;
     Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew
     John; Wilkinson, Mark
PA   Glaxo Group Limited, UK
SO   PCT Int. Appl., 61 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2003082292      A1   20031009       WO 2003-EP3340   20030327
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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PRAI GB 2002-7436 A 20020328

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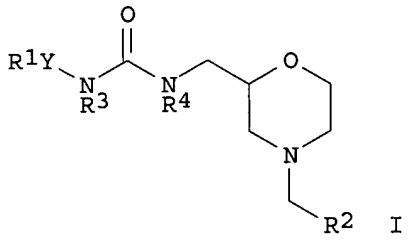

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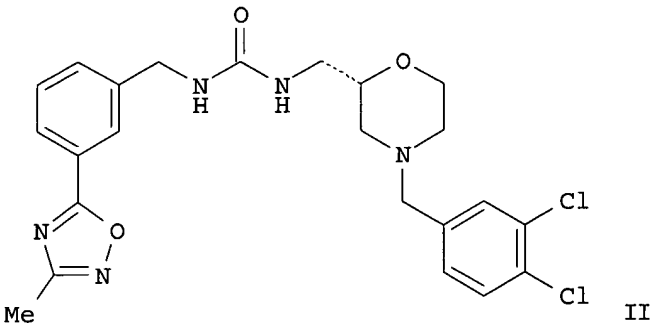


II

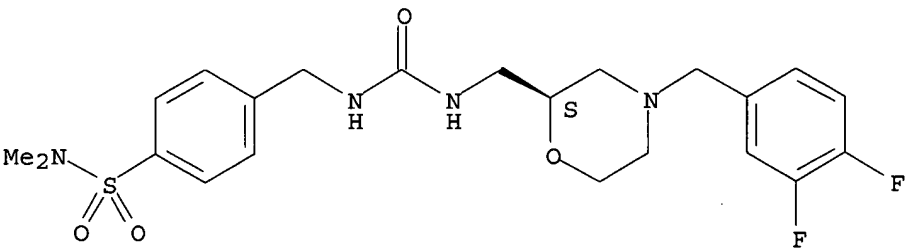
AB Title compds. [I; R1 = (substituted) aryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepd. Thus, 4-nitrophenyl [(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylcarbamate, N-hydroxyethanimidamide, NaOEt, and 4.ANG. powd. mol. sieves were refluxed together in EtOH for 5 h to give title compd. (II). I showed pIC50 = 6.6-9.1 in a CCR3 binding assay.

IT **610799-31-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 610799-31-0 CAPLUS

CN Benzenesulfonamide, 4-[[[[[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]amino]carbonyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:757713 CAPLUS
DN 139:276880
TI Preparation of carbamates as HIV protease inhibitors
IN Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy
PA The Board of Trustees of the University of Illinois, USA
SO PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078438	A1	20030925	WO 2003-US7032	20030307

PI WO 2003078438 A1 20030925 WO 2003-US7032 20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-363628P P 20020312
US 2002-433627P P 20021213
OS MARPAT 139:276880
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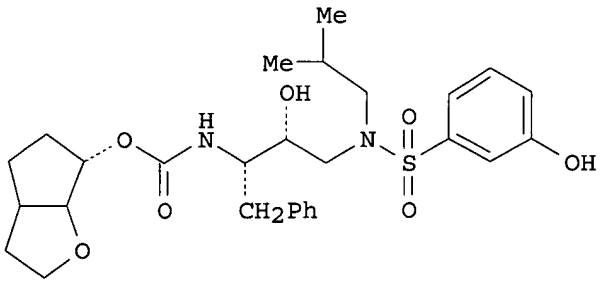


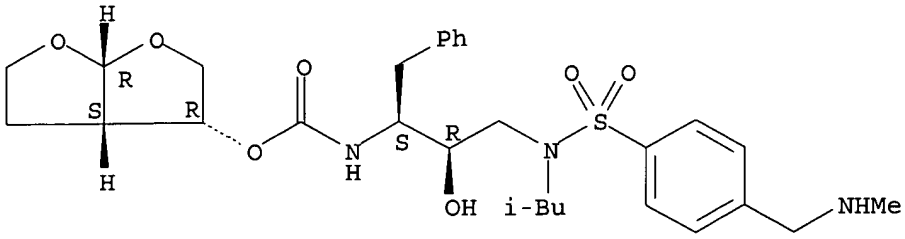
I

AB R1O2CNHCH(CH2Ph)CH(OH)CHR4NR2R3 [R1 = alkyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, NH2, heterocyclic, cycloalkyl; R3 = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR2R3 = heterocyclic; R4 = H, (un)substituted heterocyclylalkyl] were prepd. for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepd. in a multi-step synthesis and has Ki 2.1 nM for inhibition of HIV protease.

IT **605653-37-0P 605653-43-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of carbamates as HIV protease inhibitors)

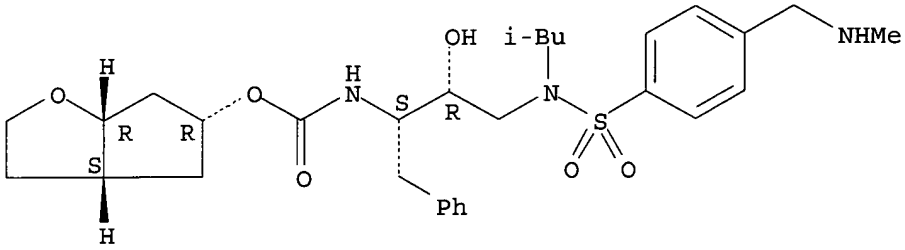
RN 605653-37-0 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 605653-43-8 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3aS,5R,6aR)-hexahydro-2H-cyclopenta[b]furan-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:282325 CAPLUS
DN 138:321285
TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-326463P P 20011001
US 2001-326758P P 20011002
OS MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

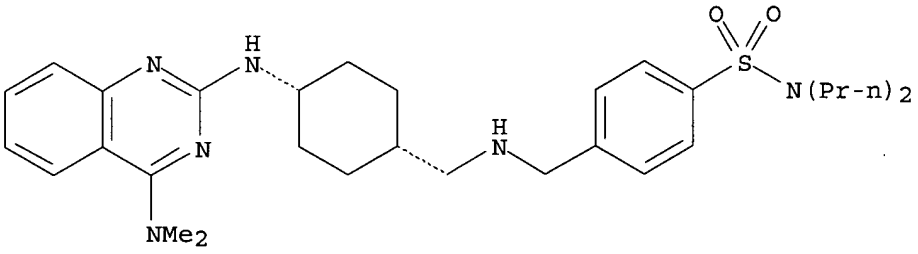
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT **510746-98-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510746-98-2 CAPLUS

CN Benzenesulfonamide, 4-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:50617 CAPLUS

DN 134:86033

TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators

IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward

PA Eli Lilly and Company Limited, UK

SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615

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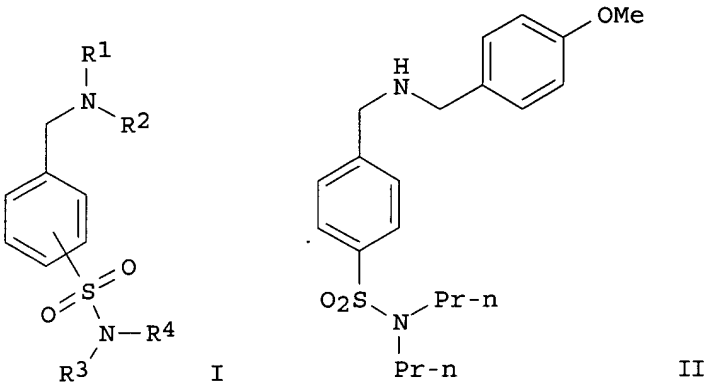
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

GB 2352240 A1 20010124 GB 1999-16434 19990713
EP 1200397 A1 20020502 EP 2000-938940 20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
PRAI GB 1999-16434 A 19990713
WO 2000-GB2361 W 20000615
OS MARPAT 134:86033
GI



AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

IT **317813-43-7P 317813-47-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

RN 317813-43-7 CAPLUS

CN Benzenesulfonamide, 4-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

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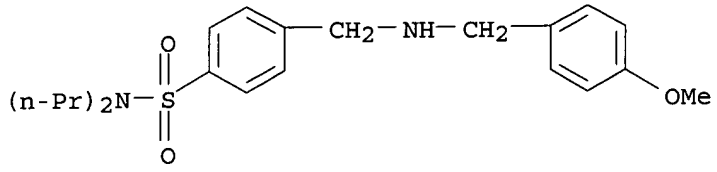
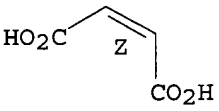
CRN 317813-42-6
CMF C21 H30 N2 O3 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

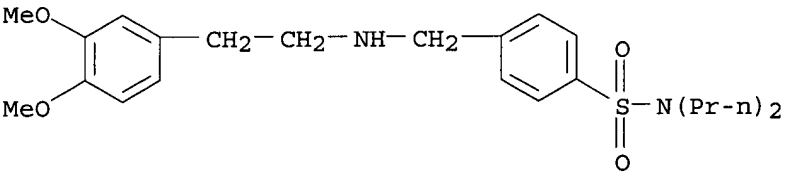
Double bond geometry as shown.



RN 317813-47-1 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

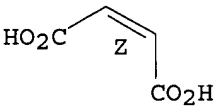
CRN 317813-46-0
CMF C23 H34 N2 O4 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



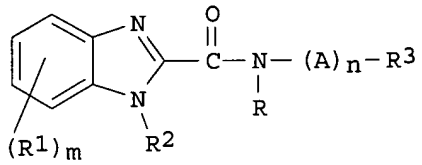
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:430666 CAPLUS
DN 129:144858
TI cGMP phosphodiesterase inhibitors containing benzimidazole derivatives
IN Nishi, Takao; Sato, Seiji; Kinohara, Yoshito; Eitani, Takeshi; Yukawa, Hirotaka; Koga, Nobuyuki
PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 92 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10182459	A2	19980707	JP 1996-347124	19961226

PRAI JP 1996-347124 19961226
OS MARPAT 129:144858
GI

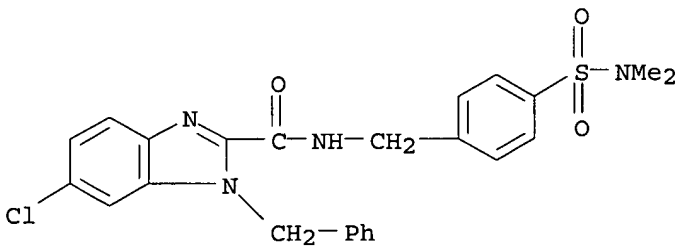


I

AB The inhibitors, useful for treatment of atherosclerotic diseases such as cardiac infarction, cerebral infarction, etc., and restenosis after PTCA, vascular stenting, and atherectomy, contain benzimidazole derivs. I [R = H, lower alkyl; R1 = H, lower alkoxy, halo, carbamoyl; m = 1, 2; R2 = phenyl-lower alkyl in which Ph group may be substituted with cyano, lower alkoxy; thienyl-lower alkyl, benzofuryl-lower alkyl in which benzofuran ring may be substituted with lower alkyl; lower alkenyl, lower alkoxy-lower alkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl; A = lower alkylene, OB (B = lower alkylene); n = 0, 1; R3 = Ph which may have 1-3 substituents] or their salts. IC50 of I (R1 = H, R2 = CH2Ph, A = CH2, m = n = 1, R3 = C6H4OMe-3) against cGMP phosphodiesterase was 0.06 .mu.M. Inhibitory action of I against FBS-stimulated growth of rat aortic smooth muscle cell line A10 was also shown. Pharmaceutical prepns. contg. I were also given.

IT **210919-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of benzimidazole derivs. as cGMP phosphodiesterase inhibitors for treatment of atherosclerotic diseases)

RN 210919-49-6 CAPLUS
CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:303430 CAPLUS
DN 126:277394

TI Preparation of acridone compounds as drugs

IN Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Takashima, Yoshihiro; Moriya, Katsuhiro; Sakuma, Yoshinori; Yamada, Koji; Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto; Katayama, Koichi; et al.

PA Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

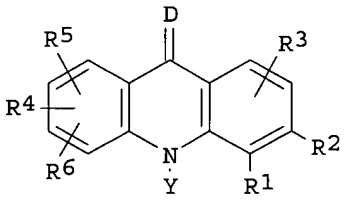
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9712872	A1	19970410	WO 1996-JP2880	19961003
	W: AU, CA, CN, HU, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2232990	AA	19970410	CA 1995-2232990	19951002
	JP 09249650	A2	19970922	JP 1996-261669	19961002
	CA 2233643	AA	19970410	CA 1996-2233643	19961003
	AU 9671453	A1	19970428	AU 1996-71453	19961003
	EP 857721	A1	19980812	EP 1996-932811	19961003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI JP 1995-257944 19951004
JP 1995-301570 19951120
JP 1995-317867 19951206
JP 1995-317868 19951206
JP 1996-1339 19960109
JP 1996-1340 19960109
WO 1996-JP2880 19961003

OS MARPAT 126:277394

GI



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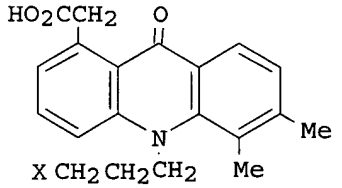


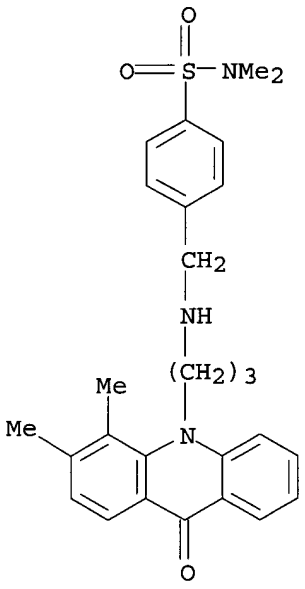
II

AB The title compds. [I; R1-R6 = H, OH, halo, lower alkyl or alkoxy, cycloalkyl, etc.; Y = (CH2)p(B)m(CH2)nZ; m = 0-1; p, n = 0-6; B = lower alkylene, optionally substituted arylene, etc.; Z = cyano, optionally protected carboxy, acyl, NR7R8; R7, R8 = H, lower alkyl or alkoxy,

hydroxyalkyl, etc.; D = O, S] and pharmacol. acceptable salts thereof are prepd. I are useful in the prevention and treatment of diseases in which chem. transmitters (histamine, leukotriene, etc.) participate, typified by asthma, allergic rhinitis, atopic dermatitis, urticaria, hay fever, digestive tract allergy, food allergy, etc. Thus, acridone deriv. (II; X = NH2) was refluxed with C6H4CHO in EtOH and then treated with NaBH4 to give the title compd. II (X = C6H4CH2NH), which showed IC50 of 3 .mu.M against serotonin releasing when tested on rat RBL-2H3 cells.

IT **189009-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of acridone compds. as drugs)

RN 189009-07-2 CAPLUS
CN Benzenesulfonamide, 4-[[[3-(3,4-dimethyl-9-oxo-10(9H)-acridinyl)propyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



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                  (SYSTEM OR SYSTEMS)
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        324183 DISORDER
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         28941 CNS
L5           2 L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=> dis l5 1-2 bib abs hitstr

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:282325  CAPLUS
DN   138:321285
TI   Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
```

IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028641	A2	20030410	WO 2002-US31059	20020930
WO 2003028641	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

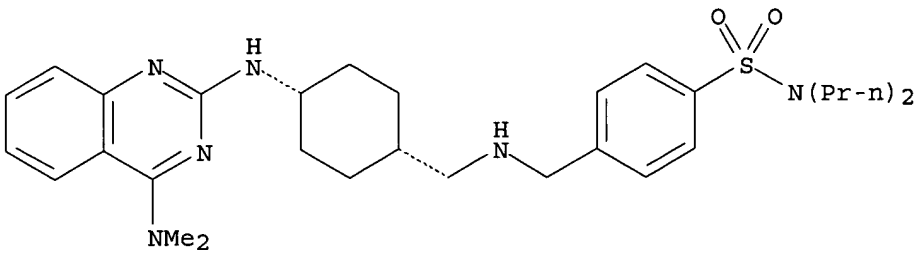
PRAI US 2001-326463P P 20011001
US 2001-326758P P 20011002
OS MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

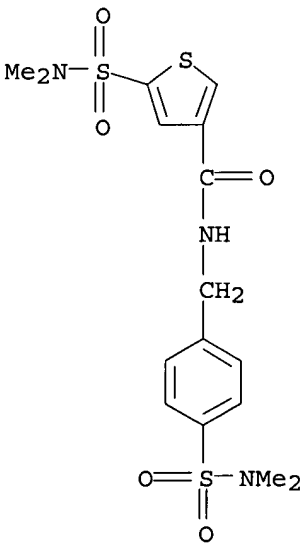
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related **disorders**, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT **510746-98-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)
RN 510746-98-2 CAPLUS
CN Benzenesulfonamide, 4-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1989:589437 CAPLUS
DN 111:189437
TI A comparison of positive ion and negative ion fast atom bombardment mass spectral data for some sulfonyl hydrazones and derivatives
AU New, A. P.; Haskins, N. J.; Frearson, M. J.
CS SK and F Res. Ltd., Welwyn/Herts, AL6 9AR, UK
SO Biomedical & Environmental Mass Spectrometry (1989), Volume Date 1988, 18(8), 620-3
CODEN: BEMSEN; ISSN: 0887-6134
DT Journal
LA English
AB A no. of sulfonyl hydrazones and derivs. have been synthesized and tested for biol. activity as pesticides during the crop protection research program at the Hatfield Polytechnic. A comparative ionization study of some of these compds. using electron impact (EI), fast atom bombardment (FAB) and various chem. ionization methods showed FAB mass spectrometry to be the optimum technique to use in terms of mol. wt. information obtained. FAB mass spectral data were compared in pos. and neg. ion mode using an alternating pos. and neg. ion detection **system**.
IT **123297-65-4**
RL: PRP (Properties)
(mass spectra of, pos. ion and neg. ion fast atom bombardment, comparison of)
RN 123297-65-4 CAPLUS
CN 3-Thiophenecarboxamide, 5-[(dimethylamino)sulfonyl]-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



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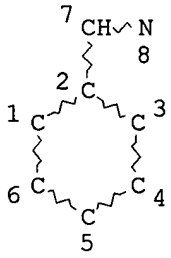

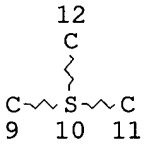


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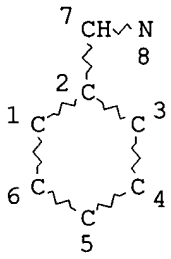
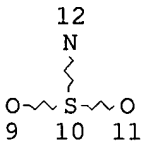
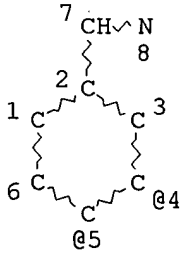
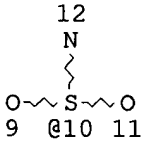





```
:vpa 10-4/5
:dis
```






```
VPA 10-4/5 U
```

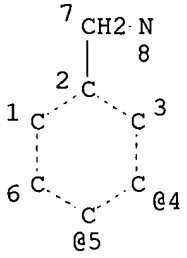
Searched by: Mary Hale 308-4258 CM-1 1E01

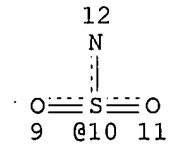
```
=> dis his

     (FILE 'HOME' ENTERED AT 16:55:38 ON 12 NOV 2003)

     FILE 'REGISTRY' ENTERED AT 16:55:43 ON 12 NOV 2003
L1               STR
L2            11 S L1
L3          2788 S L1 FUL

=> d l3 que stat
L1               STR
```






```
VPA 10-4/5 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
L3          2788 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 206626 ITERATIONS                          2788 ANSWERS
SEARCH TIME: 00.00.02


=>
```

Searched by: Mary Hale 308-4258 CM-1 1E01